

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
19 May 2005 (19.05.2005)

PCT

(10) International Publication Number
WO 2005/044786 A1

(51) International Patent Classification⁷: **C07C 275/28**,
275/32, 235/38, A61K 31/16, 31/17

YUASA, Hiroaki [JP/JP]; 51-1-202, Ejiri Aza Myojy-
ouike, Kitajima-cho, Itano-gun, Tokushima 771-0205
(JP).

(21) International Application Number:
PCT/EP2004/012050

(74) Common Representative: **BAYER HEALTHCARE**
AG; Law and Patents, Patents and Licensing, 51368
Leverkusen (DE).

(22) International Filing Date: 26 October 2004 (26.10.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
03025571.5 8 November 2003 (08.11.2003) EP
03027003.7 22 November 2003 (22.11.2003) EP

(81) Designated States (*unless otherwise indicated, for every
kind of national protection available*): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

(71) Applicant (*for all designated States except US*): **BAYER**
HEALTHCARE AG [DE/DE]; 51368 Leverkusen (DE).

(84) Designated States (*unless otherwise indicated, for every
kind of regional protection available*): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **MOGI, Muneto**
[JP/JP]; 5-10-57-102, Daijani, Nara-shi, Nara 630-8133
(JP). **FUJISHIMA, Hiroshi** [JP/JP]; 3-23-25, Sakyo,
Nara-shi, Nara 631-0801 (JP). **TAJIMI, Masaomi**
[JP/JP]; 1-8-17, Sakuragaoka, Seika-cho, Soraku-gun,
Kyoto 619-0232 (JP). **YAMAMOTO, Noriyuki** [JP/JP];
6-6-1-3-404, Jungu, Nara-shi, Nara 631-0804 (JP). **UR-**
BAHNS, Klaus [DE/SE]; Martenstorget 8, S-22351
Lund (SE). **HAYASHI, Fumihiko** [JP/JP]; 19-12,
Kamishakuji minami-cho, Nerima-ku, Tokyo 177-0043
(JP). **TSUKIMI, Yasuhiro** [JP/JP]; 2-10-6, Shimosakabe,
Amagasaki-shi, Hyogo 661-0975 (JP). **GUPTA, Jang**
[IN/DE]; Rheinbrohler Weg 15, 40489 Düsseldorf (DE).

Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

*For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.*

(54) Title: **BICYCLIC AMIDE, CARBAMATE OR UREA DERIVATIVES AS VANILLOID RECEPTOR MODULATORS**

(57) Abstract: This invention relates to bicyclic amide, carbamate or urea derivatives and salts thereof which are useful as active ingredients of pharmaceutical preparations. The bicyclic amide, carbamate or urea derivative of the present invention has vanilloid receptor (VR1) antagonistic activity, and can be used for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urological diseases or disorders, such as detrusor overactivity (overactive bladder), urinary incontinence, neurogenic detrusor overactivity (detrusor hyperflexia), idiopathic detrusor overactivity (detrusor instability), benign prostatic hyperplasia, and lower urinary tract symptoms; chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algnesia, nerve injury, ischaemia, neurodegeneration, stroke, and inflammatory disorders such as asthma and chronic obstructive pulmonary (or airways) disease (COPD).

WO 2005/044786 A1